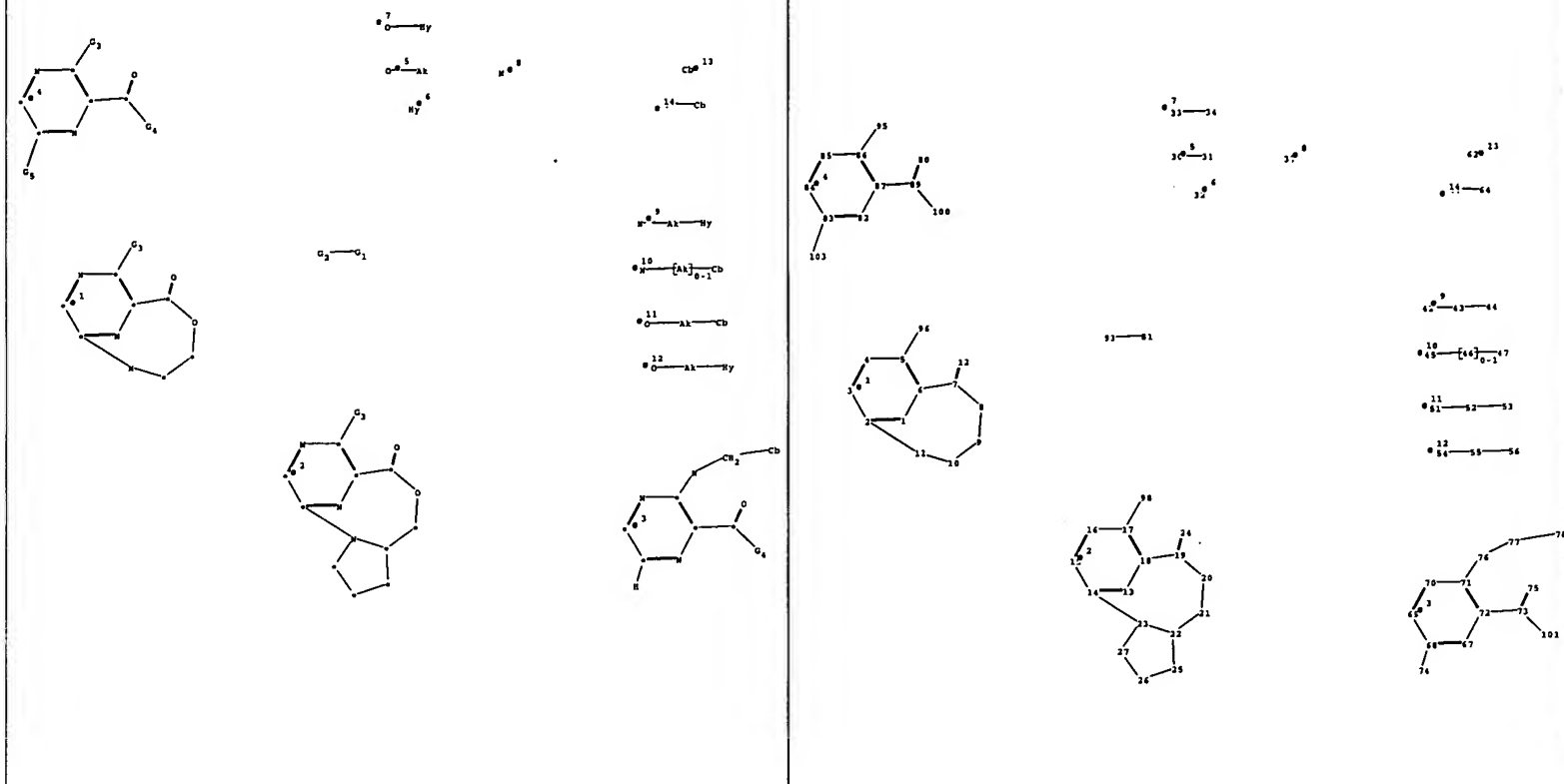


EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	6203	((544/295,350,405,406,407) or (514/249,255.05,255.06)).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/04/03 10:59

Application
Number SEARCHIDS Flag Clearance for Application **IDS
Information**

Content	Mailroom Date	Entry Number	IDS Review	Reviewer
M844	11-04-2003	19	<input checked="" type="checkbox"/>	04-03-2006 11:04:39 DRao
M844	04-21-2005	23	<input checked="" type="checkbox"/>	04-03-2006 11:04:39 DRao
M844	11-21-2005	24	<input checked="" type="checkbox"/>	04-03-2006 11:04:40 DRao



chain nodes :

12 24 30 31 32 33 34 42 43 44 45 46 47 51 52 53 54 55 56 62 63 64 73
74 75 76 77 78 81 89 90 93 95 96 98 100 101 103

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 13 14 15 16 17 18 19 20 21 22 23 25 26 27
67 68 69 70 71 72 82 83 84 85 86 87

ring/chain nodes :

37

chain bonds :

5-96 7-12 17-98 19-24 30-31 33-34 42-43 43-44 45-46 46-47 51-52 52-53 54-55
55-56 63-64 68-74 71-76 72-73 73-75 73-101 76-77 77-78 81-93 83-103 86-95 87-89
89-90 89-100

ring bonds :

1-2 1-6 2-3 2-11 3-4 4-5 5-6 6-7 7-8 8-9 9-10 10-11 13-14 13-18 14-15 14-23
15-16 16-17 17-18 18-19 19-20 20-21 21-22 22-23 22-25 23-27 25-26 26-27 67-68
67-72 68-69 69-70 70-71 71-72 82-83 82-87 83-84 84-85 85-86 86-87

exact/norm bonds :

2-11 5-96 6-7 7-8 7-12 8-9 9-10 10-11 14-23 17-98 18-19 19-20 19-24 20-21
21-22 22-23 22-25 23-27 25-26 26-27 30-31 33-34 42-43 43-44 45-46 46-47 51-52
52-53 54-55 55-56 71-76 73-75 73-101 81-93 83-103 86-95 89-90 89-100

exact bonds :

63-64 68-74 72-73 76-77 77-78 87-89

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 67-68 67-72 68-69
69-70 70-71 71-72 82-83 82-87 83-84 84-85 85-86 86-87

isolated ring systems :

containing 82 :

G1: [*1], [*2], [*3], [*4]

G2: CN, [*5], [*6], [*7], [*8]

G3: [*9], [*10], [*11], [*12]

G4: Ak, [*5], [*6], [*7], [*8], [*13], [*14]

G5: [*5], [*6], [*8], [*13]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:Atom 23:Atom 24:CLASS 25:Atom 26:Atom 27:Atom 30:CLASS 31:CLASS 32:Atom
33:CLASS 34:Atom 37:CLASS 42:CLASS 43:CLASS 44:Atom 45:CLASS 46:CLASS 47:Atom
51:CLASS 52:CLASS 53:Atom 54:CLASS 55:CLASS 56:Atom 62:Atom 63:CLASS 64:Atom
67:Atom 68:Atom 69:Atom 70:Atom 71:Atom 72:Atom 73:CLASS 74:CLASS 75:CLASS
76:CLASS 77:CLASS 78:Atom 81:CLASS 82:Atom 83:Atom 84:Atom 85:Atom 86:Atom 87:Atom
89:CLASS 90:CLASS 93:CLASS 95:CLASS 96:CLASS 98:CLASS 100:CLASS 101:CLASS 103:CLASS

Generic attributes :

47:
Saturation : Unsaturated
53:
Saturation : Unsaturated
62:
Saturation : Unsaturated
64:
Saturation : Saturated
78:
Saturation : Unsaturated

Element Count :

Node 32: Limited
N,N1

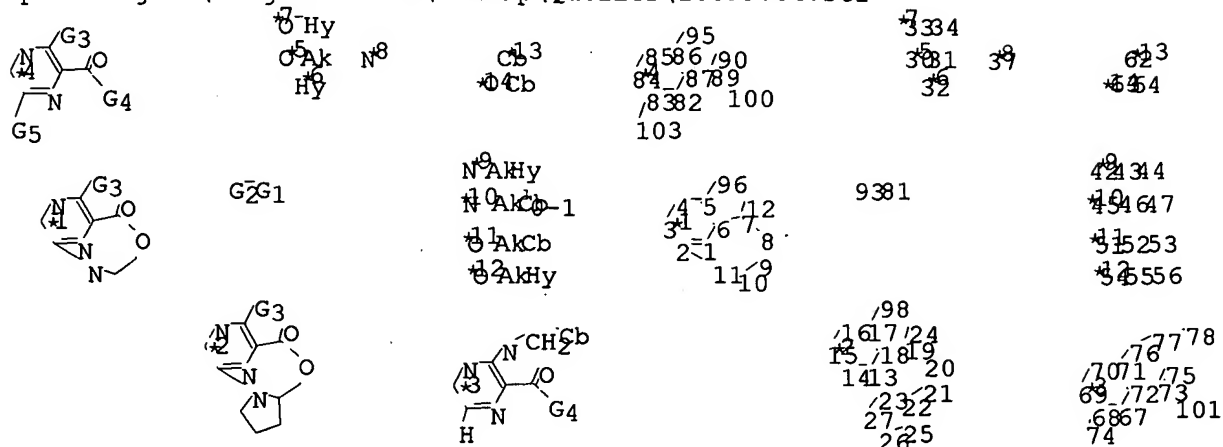
Node 34: Limited
N,N1

Node 44: Limited
N,N1

Node 56: Limited
N,N1

\Rightarrow

Uploading C:\Program Files\Stnexp\Queries\10699804.str



chain nodes :

12	24	30	31	32	33	34	42	43	44	45	46	47	51	52	53	54	55	56	62	63
64	73	74	75	76	77	78	81	89	90	93	95	96	98	100	101	103				

ring nodes :

1	2	3	4	5	6	7	8	9	10	11	13	14	15	16	17	18	19	20	21	22	23	25
26	27	67	68	69	70	71	72	82	83	84	85	86	87									

```
ring/chain nodes :
```

37

chain bonds :

5-96 7-12 17-98 19-24 30-31 33-34 42-43 43-44 45-46 46-47 51-52 52-53

54-55 55-56 63-64 68-74 71-76 72-73 73-75 73-101 76-77 77-78 81-93

83-103 86-95 87-89 89-90 89-100

ring bonds :

[illegible]

exact/norm bonds :

2-11 5-96 6-7 7-8 7-12 8-9 9-10 10-11 14-23 17-98 18-19 19-20 19-24
 20-21 21-22 22-23 22-25 23-27 25-26 26-27 30-31 33-34 42-43 43-44 45-46
 46-47 51-52 52-53 54-55 55-56 71-76 73-75 73-101 81-93 83-103 86-95
 89-90 89-100

exact bonds :

63-64 68-74 72-73 76-77 77-78 87-89

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 67-68
 67-72 68-69 69-70 70-71 71-72 82-83 82-87 83-84 84-85 85-86 86-87

isolated ring systems :

containing 82 :

G1:[*1],[*2],[*3],[*4]

G2:CN,[*5],[*6],[*7],[*8]

G3:[*9],[*10],[*11],[*12]

G4:Ak,[*5],[*6],[*7],[*8],[*13],[*14]

G5:[*5],[*6],[*8],[*13]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
 11:Atom 12:CLASS 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
 20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:Atom 26:Atom 27:Atom 30:CLASS
 31:CLASS 32:Atom 33:CLASS 34:Atom 37:CLASS 42:CLASS 43:CLASS 44:Atom
 45:CLASS 46:CLASS 47:Atom 51:CLASS 52:CLASS 53:Atom 54:CLASS 55:CLASS
 56:Atom 62:Atom 63:CLASS 64:Atom 67:Atom 68:Atom 69:Atom 70:Atom 71:Atom
 72:Atom 73:CLASS 74:CLASS 75:CLASS 76:CLASS 77:CLASS 78:Atom 81:CLASS
 82:Atom 83:Atom 84:Atom 85:Atom 86:Atom 87:Atom 89:CLASS 90:CLASS 93:CLASS
 95:CLASS 96:CLASS 98:CLASS 100:CLASS 101:CLASS 103:CLASS

Generic attributes :

47:

Saturation : Unsaturated

53:

Saturation : Unsaturated

62:

Saturation : Unsaturated

64:

Saturation : Saturated

78:

Saturation : Unsaturated

Element Count :

Node 32: Limited

N,N1

Node 34: Limited

N,N1

Node 44: Limited

N,N1

Node 56: Limited

N,N1

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss sam

SAMPLE SEARCH INITIATED 07:53:39 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1687 TO ITERATE

100.0% PROCESSED 1687 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 31277 TO 36203

PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> => s l1 sss ful

FULL SEARCH INITIATED 08:02:23 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 32898 TO ITERATE

100.0% PROCESSED 32898 ITERATIONS

29 ANSWERS

SEARCH TIME: 00.00.01

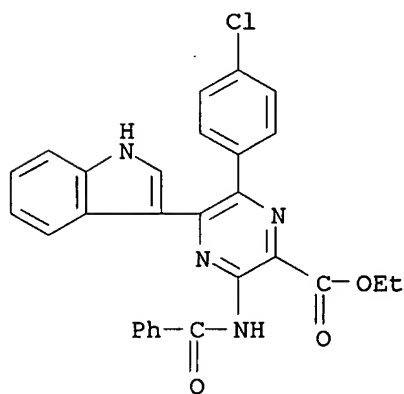
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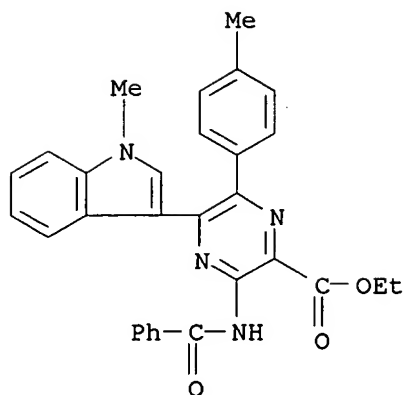
L4 9 L3

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L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2005:630607 CAPLUS
 DN 144:221542
 TI Photoluminescence of some indolylpyrazines
 AU Tarkhov, L. I.; Potemkin, V. A.; Kovalev, I. S.; Shul'gin, B. V.
 CS GOU VPO Ural. Gos. Tekh. Univ.-UPI, Yekaterinburg, Russia
 SO Materialovedenie (2005), (4), 16-22
 CODEN: MATEC5
 PB OOO Nauka i Tekhnologii
 DT Journal
 LA Russian
 AB The photoluminescence of 20 indolylpyrazine derivs. was studied exptl. and theor. using a BiS algorithm. The relation between the mol. structure and the luminescent wavelength was well predicted by the calcns. and was in good agreement with the exptl. data.
 IT 327035-59-6 695207-61-5 695219-42-2
 875932-50-6 875932-51-7 875932-59-5
 875932-60-8 875932-61-9
 RL: MOA (Modifier or additive use); PRP (Properties); USES (Uses)
 (photoluminescence of some indolylpyrazines)
 RN 327035-59-6 CAPLUS
 CN Pyrazinecarboxylic acid, 3-(benzoylamino)-6-(4-chlorophenyl)-5-(1H-indol-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)

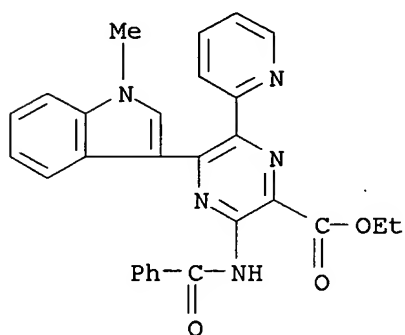


RN 695207-61-5 CAPLUS
 CN Pyrazinecarboxylic acid, 3-(benzoylamino)-5-(1-methyl-1H-indol-3-yl)-6-(4-methylphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



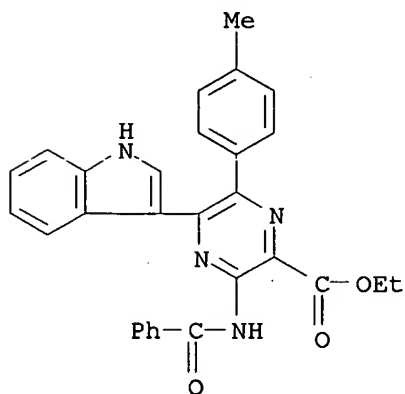
RN 695219-42-2 CAPLUS

CN Pyrazinecarboxylic acid, 3-(benzoylamino)-5-(1-methyl-1H-indol-3-yl)-6-(2-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)



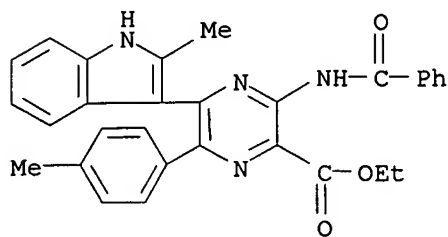
RN 875932-50-6 CAPLUS

CN Pyrazinecarboxylic acid, 3-(benzoylamino)-5-(1H-indol-3-yl)-6-(4-methylphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



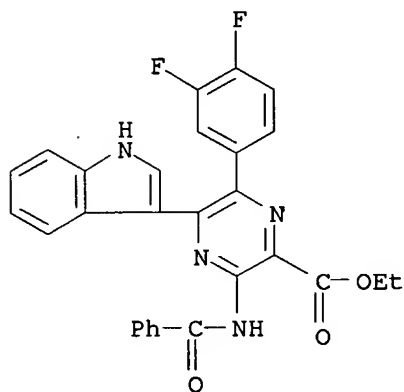
RN 875932-51-7 CAPLUS

CN Pyrazinecarboxylic acid, 3-(benzoylamino)-5-(2-methyl-1H-indol-3-yl)-6-(4-methylphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



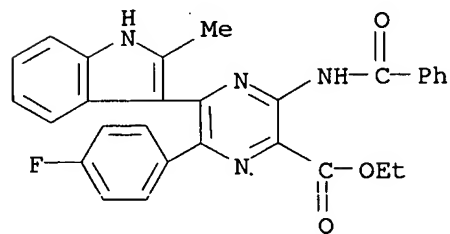
RN 875932-59-5 CAPLUS

CN Pyrazinecarboxylic acid, 3-(benzoylamino)-6-(3,4-difluorophenyl)-5-(1H-indol-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)



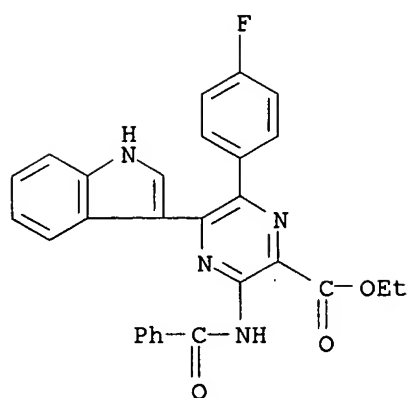
RN 875932-60-8 CAPLUS

CN Pyrazinecarboxylic acid, 3-(benzoylamino)-6-(4-fluorophenyl)-5-(2-methyl-1H-indol-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 875932-61-9 CAPLUS

CN Pyrazinecarboxylic acid, 3-(benzoylamino)-6-(4-fluorophenyl)-5-(1H-indol-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2004:589247 CAPLUS
 DN 141:140463
 TI Preparation of heterocyclic compounds as selective phosphodiesterase V inhibitors
 IN Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji; Kikkawa, Kohei
 PA Japan
 SO U.S. Pat. Appl. Publ., 116 pp., Cont.-in-part of U.S. Ser. No. 258,545.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 3

*Appl.
PGPub.*

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004142930	A1	20040722	US 2003- <u>699804</u>	20031104
	JP 2002012587	A2	20020115	JP 2000-277652	20000913
	JP 3637961	B2	20050413		
	WO 2001083460	A1	20011108	WO 2001-JP2034	20010315
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2003229089	A1	20031211	US 2002- <u>258545</u>	20021025
PRAI	JP 2000-130371	A	20000428		
	JP 2000-277652	A	20000913		
	WO 2001-JP2034	W	20010315		
	US 2002-258545	A2	20021025		
	JP 1999-261852	A	19990916		

Parent

(No ODP)

OS MARPAT 141:140463
 AB The title compds. (I) [X = CH, N; Y = NH, NR, S, O, CH:N, N:CH, N:N, CH:CHC(:R5)N, CH:C(R5), N:C(R7); R1 = each (un)substituted lower alkoxy, amino, heterocyclyl containing N atom(s), HO, or heterocyclyloxy containing N atom(s), cyano; R2 = lower alkylamino or lower alkoxy each optionally substituted by an (un)substituted aryl, lower alkoxy group substituted by an aromatic heterocyclic ring containing N atom(s), lower alkylamino group substituted by a (un)substituted heterocyclic ring, (un)substituted arylamino; R3 = each (un)substituted aryl, heterocyclyl containing N atom(s), lower alkyl, lower alkoxy, lower cycloalkoxy, heterocyclyloxy containing N atom(s), or NH2; R4-R7 = each (un)substituted aryl, heterocyclyl containing N atom(s), lower alkoxy, or NH2; R4, R5, R6 or R7 may combine with R3 to form a lactone ring Q or Q1; when X = N, Y = CH:N, or N:CH, R2 = an amino group monosubstituted by an (un)substituted arylmethyl, and R3 = (un)substituted lower alkyl, amino monosubstituted by an (un)substituted heterocyclyl-lower alkyl containing N atom(s) in the ring, heterocyclylamino containing N atom(s) in the ring, or (un)substituted lower cycloalkylamino, R1 = each (un)substituted lower alkoxy, amino, heterocyclyloxy containing N atom(s) in the ring, or cyano group] or pharmacol. acceptable salts thereof are prepared These compds. have excellent selective PDE V inhibitory activity and therefore, are useful as therapeutic or prophylactic drugs for treating various diseases due to functional disorders on cGMP-signaling, such as erectile dysfunction, pulmonary hypertension, and diabetic gastroparesis. Thus, 2-(hydroxymethyl)pyridine was treated with NaH in THF and etherified with 2-chloro-5-(3,4,5-

trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine to give 2-(2-pyridylmethoxy)-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine.

IT 330786-12-4P 372115-76-9P 372115-77-0P
726205-56-7P

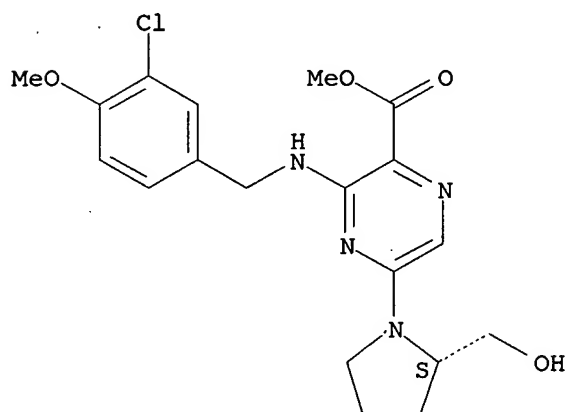
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclic compds. as selective phosphodiesterase V inhibitors for treating various diseases due to functional disorders on cGMP-signaling)

RN 330786-12-4 CAPLUS

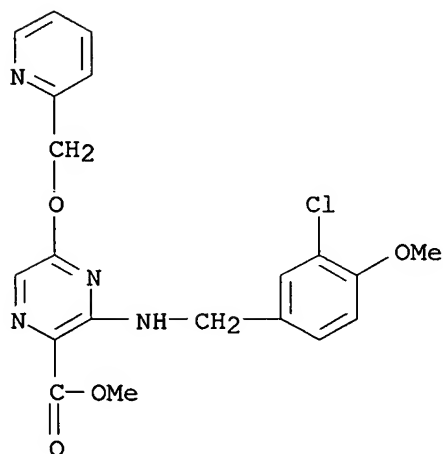
CN Pyrazinecarboxylic acid, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 372115-76-9 CAPLUS

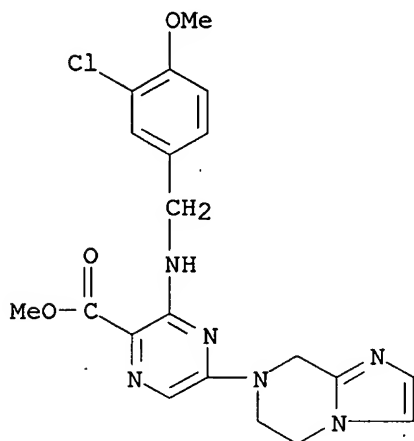
CN Pyrazinecarboxylic acid, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(2-pyridinylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



10/699,804

RN 372115-77-0 CAPLUS

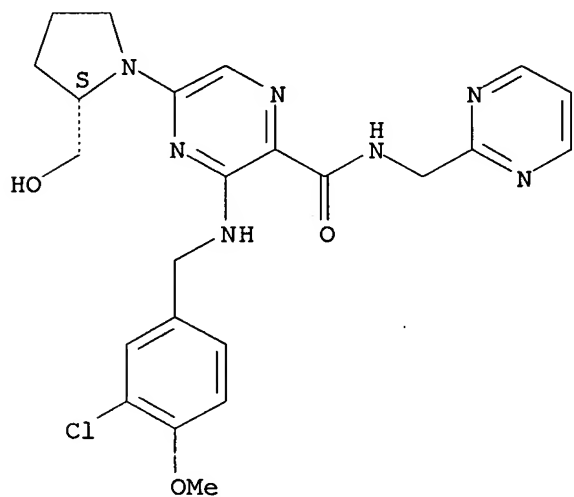
CN Pyrazinecarboxylic acid, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-, methyl ester (9CI) (CA INDEX NAME)



RN 726205-56-7 CAPLUS

CN Pyrazinecarboxamide, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●x HCl

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:434540 CAPLUS

DN 139:6891

TI Preparation of substituted aryl pyrazine derivatives as CRF1 receptor antagonists useful against anxiety disorders, depression and stress related disorders

IN Verhoest, Patrick R.; Hoffman, Robert L.; Corbett, Jeffrey W.; Ennis, Michael D.; Frank, Kristine E.; Fu, Jian-Min

PA Pharmacia & Upjohn Company, USA

SO PCT Int. Appl., 271 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2003045924	A1	20030605	WO 2002-US33642	20021115	
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	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
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	AU 2002343557	A1	20030610	AU 2002-343557	20021115	
	US 2003144297	A1	20030731	US 2002-298193	20021115	
	US 6992087	B2	20060131			
	EP 1446387	A1	20040818	EP 2002-780507	20021115	
	R:			AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, SK, TR		
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	US 2005049257	A1	20050303	US 2004-844004	20040512	
PRAI	US 2001-332052P	P	20011121			
	US 2002-358546P	P	20020221			
	US 2002-388285P	P	20020613			
	US 2002-410378P	P	20020913			
	US 2002-298193	A1	20021115			
	WO 2002-US33642	W	20021115			

OS MARPAT 139:6891

AB Substituted aryl 1,4-pyrazine derivs. (shown as I; variables defined below; e.g. 5-(2,4-dichlorophenyl)-N-((1R,2S)-2-ethoxy-2,3-dihydro-1H-inden-1-yl)-3,6-diethylpyrazin-2-amine) and their use in treating anxiety disorders, depression and stress related disorders are disclosed. The binding affinity of I for the corticotropin releasing factor type I receptor expressed as IC50 values generally ranges from .apprx.0.5 nM to .apprx.10 µM; no specific values are given. Although the methods of preparation are not claimed, 131 example prepsns. of I and 190 example prepsns. of intermediates are included. For I: X = -NR3R4, -OR3, -CR3R5R5, -C(O)R3, -S(O)mR3, -NR3C(O)R4, or -NR3S(O)mR4, m = 0-2; Ar = aryl, substituted aryl, heteroaryl, or substituted heteroaryl; R1, R2, and R5 = halogen, -NO2, -CN, -Ra, -ORa, -S(O)mRa, -NRaRa, -C(O)NRaRa, -C(S)NRaRa, -S(O)mNRaRa, -NRaS(O)mRa, -NRaC(O)ORa, -OC(O)NRaRa, -NRaC(O)NRaRa, -NRaC(S)NRaRa, -C(O)ORa, -C(S)ORa, or -OC(O)ORa. R3 and R4 = Ra or substituted and/or unsubstituted heterocycloalkyl, heteroaryl, aryl, aryl

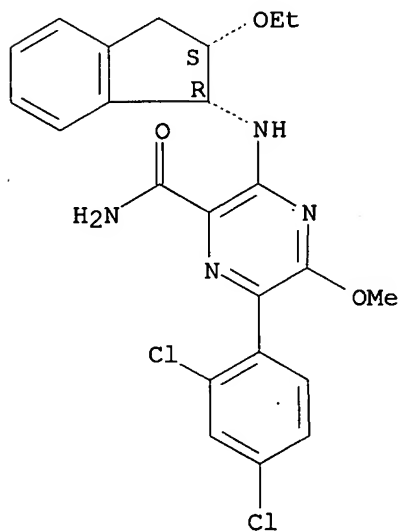
cycloalkyl, heteroaryl cycloalkyl, aryl heterocycloalkyl, or heteroaryl heterocycloalkyl; Ra = H, alkyl, cycloalkyl, haloalkyl, aryl, heteroaryl, or heterocycloalkyl (un)substituted with 1 to 5 of Rt, -ORt, -S(O)mRt, NRtRt, oxo, thione (:S), Ph, heteroaryl, or heterocycloalkyl; Rt = H, halogen, -NO₂, -NH₂, -OH, -SH, -CN, -C(O)NH₂, -C(O)NHalkyl, -C(O)Nalkylalkyl, -Oalkyl, NHalkyl, Nalkylalkyl, -S(O)malkyl, SO₂NH₂, SO₂NHalkyl and SO₂Nalkylalkyl, alkyl, cycloalkyl, haloalkyl, Ph, benzyl, heteroaryl, or heterocycloalkyl; addnl. details including specifically excluded compds. are given in the claims. Compds. I are also claimed effective for screening ligands for CRF1 receptors and for detecting CRF1 receptors in tissues.

IT **535940-58-0P**, 6-(2,4-Dichlorophenyl)-3-[[[(1R,2S)-2-ethoxy-2,3-dihydro-1H-inden-1-yl]amino]-5-methoxypyrazine-2-carboxamide
 RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate and receptor detection and ligand screening agent; preparation of substituted aryl pyrazine derivs. as CRF1 receptor antagonists useful against anxiety disorders, depression and stress related disorders)

RN 535940-58-0 CAPLUS

CN Pyrazinecarboxamide, 6-(2,4-dichlorophenyl)-3-[[[(1R,2S)-2-ethoxy-2,3-dihydro-1H-inden-1-yl]amino]-5-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2002:900736 CAPLUS
 DN 138:4612

TI Preparation of 2-heterocyclyl-4-aminopyrimidine-5-carboxamide and
 5-heterocyclyl-3-aminopyrazine-2-carboxamide derivatives as selective
 inhibitors of phosphodiesterase IV

IN Yamada, Koichiro; Matsumoto, Kenji; Omori, Kenji; Yoshikawa, Kohei

PA Tanabe Seiyaku Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 53 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002338466	A2	20021127	JP 2002-61580	20020307
PRAI	JP 2001-73385	A	20010315		
OS	MARPAT 138:4612				

AB Disclosed is a pharmaceutical composition containing the title compound [I;
 the ring

A = (un)substituted N-containing heterocyclyl; R1 = (un)substituted lower
 alkyl, NH-Q-R3, NH-R4; wherein R3 = (un)substituted N-containing heterocyclyl;
 Q = a single bond, lower alkylene; R4 = (un)substituted cycloalkyl; R2 =
 (un)substituted aryl; one of Y and Z is CH and the other is N] or
 pharmacol. acceptable salt thereof as the active ingredient for the
 prevention and/or treatment of impotence, pulmonary hypertension, or
 diabetic stomach failure or paralysis. Thus, a solution of 2.057 g
 2-methylthio-4-(3-chloro-4-methoxybenzylamino)-5-formylpyrimidine was
 treated with 1.468 g m-chloroperbenzoic acid (80%) at 0° for 30
 min, followed by successively adding 0.901 g L-prolinol and 1.33 mL Et3N,
 and the resulting mixture was allowed to react at 0° for 1 h to give
 2.00 g (S)-2-(2-hydroxymethyl-1-pyrrolidinyl)-4-(3-chloro-4-
 methoxybenzylamino)-5-formylpyrimidine (II). A solution of 91.0 mg II in 20
 mL THF was reacted with 1.1 mL 1.10 M MeLi/Et2O at -78° for 10 min
 to give, after treatment with aqueous NaHCO3 and extraction with EtOAc, an

EtOAc

solution of crude (S)-2-(2-hydroxymethyl-1-pyrrolidinyl)-4-(3-chloro-4-
 methoxybenzylamino)-5-(1-hydroxyethyl)pyrimidine which was stirred with
 0.5 g MnO2 at room temperature overnight and then at refluxing temperature for

5 h to

give (S)-2-(2-hydroxymethyl-1-pyrrolidinyl)-4-(3-chloro-4-
 methoxybenzylamino)-5-acetylpyrimidine (III). III and inhibitors
 N-(2-pyridylmethyl)-2-(1,2,3,4-tetrahydroisoquinolin-2-yl)-4-(3-chloro-4-
 methoxybenzylamino)pyrimidine-5-carboxamide showed IC50 of 5.18 and 0.0859
 µM, resp., against PDE IV isolated from a dog lung. III in vitro
 exhibited the relaxant activity on rabbit corpus cavernosum with ED50 of 1
 nM.

IT **330784-43-5P**, (S)-2-[N-(2-Pyrimidinylmethyl)carbamoyl]-3-((3-
 chloro-4-methoxybenzyl)amino)-5-(2-hydroxymethyl-1-pyrrolidinyl)pyrazine
330784-44-6P 330784-45-7P 330785-08-5P
330785-09-6P 330785-10-9P 330785-11-0P
330785-12-1P

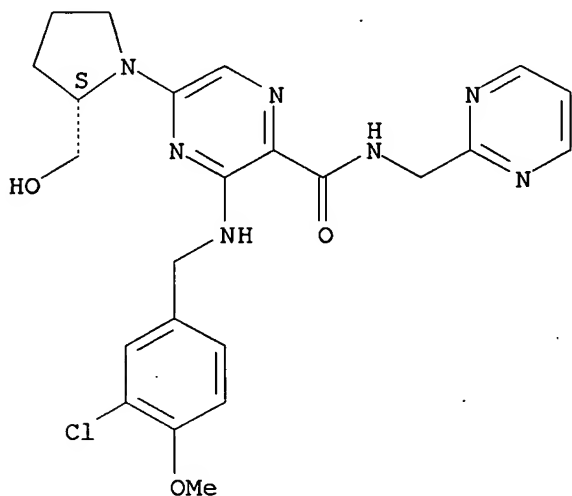
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of heterocyclylaminopyrimidinecarboxamide and
 heterocyclylaminopyrazinecarboxamide derivs. as selective inhibitors of
 phosphodiesterase IV for prevention and/or treatment of diseases)

RN 330784-43-5 CAPLUS

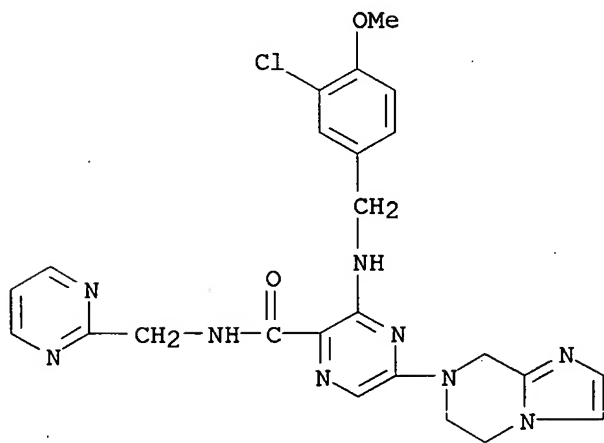
CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 330784-44-6 CAPLUS

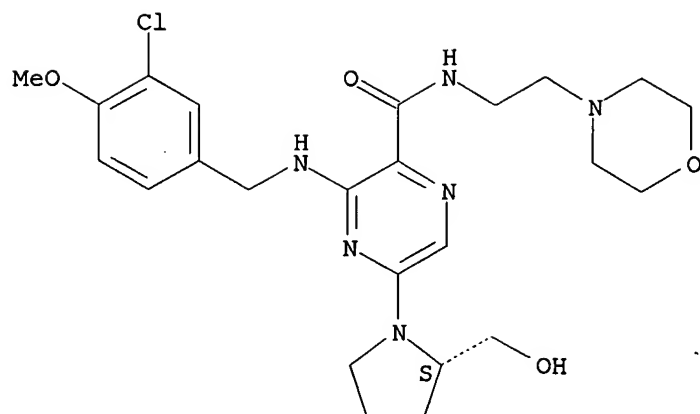
CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)



RN 330784-45-7 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

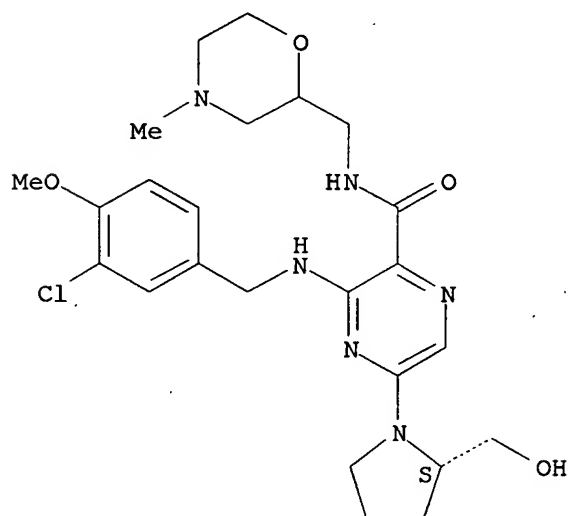
Absolute stereochemistry.



RN 330785-08-5 CAPLUS

CN Pyrazinecarboxamide, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[(4-methyl-2-morpholinyl)methyl]- (9CI)
(CA INDEX NAME)

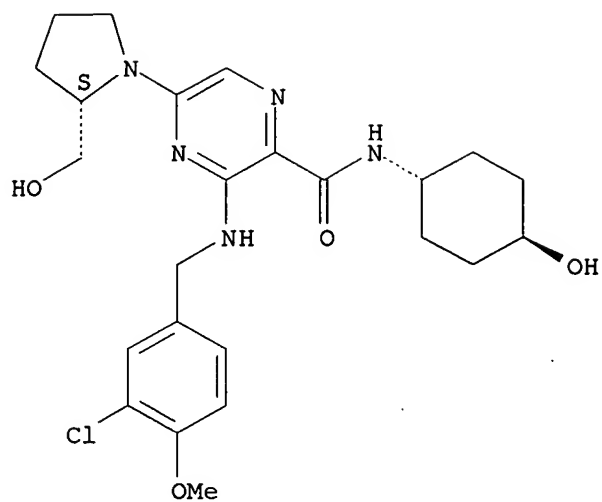
Absolute stereochemistry.



RN 330785-09-6 CAPLUS

CN Pyrazinecarboxamide, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(trans-4-hydroxycyclohexyl)-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

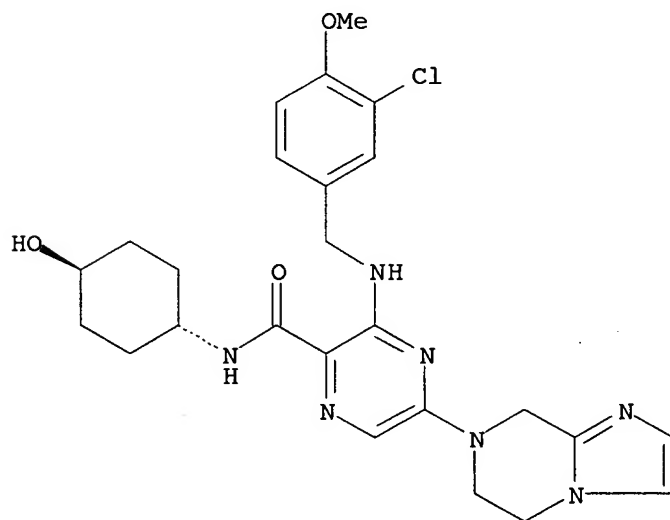
Absolute stereochemistry.



RN 330785-10-9 CAPLUS

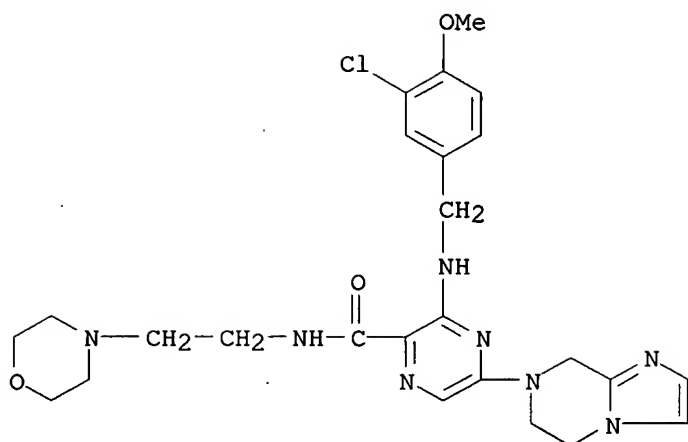
CN Pyrazinecarboxamide, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)]-N-(trans-4-hydroxycyclohexyl)-(9CI) (CA INDEX NAME)

Relative stereochemistry.



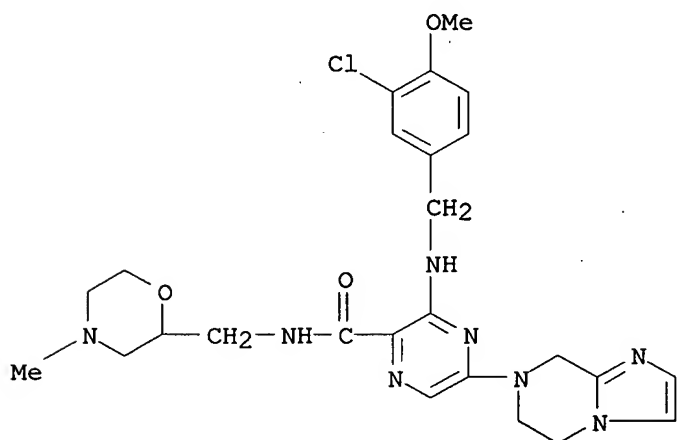
RN 330785-11-0 CAPLUS

CN Pyrazinecarboxamide, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)]-N-[2-(4-morpholinyl)ethyl]-(9CI) (CA INDEX NAME)



RN 330785-12-1 CAPLUS

CN Pyrazinecarboxamide, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)]-N-[(4-methyl-2-morpholinyl)methyl]- (9CI) (CA INDEX NAME)



IT 330786-12-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

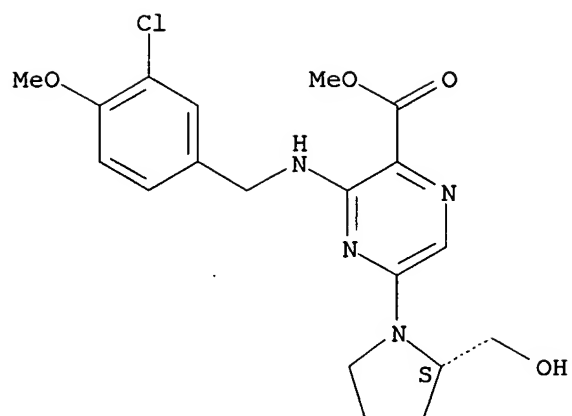
(preparation of heterocyclaminopyrimidinecarboxamide and heterocyclaminopyrazinecarboxamide derivs. as selective inhibitors of phosphodiesterase IV for prevention and/or treatment of diseases)

RN 330786-12-4 CAPLUS

CN Pyrazinecarboxylic acid, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/699,804



L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2002:637504 CAPLUS
 DN 137:159373
 TI Tablets quickly disintegrated in oral cavity
 IN Sugimoto, Masaaki; Murakami, Hideki; Koida, Yoshiyuki
 PA Tanabe Seiyaku Co., Ltd., Japan
 SO PCT Int. Appl., 49 pp.

CODEN: PIXXD2

DT Patent
 LA Japanese
 FAN. CNT 1

Common Assignee

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002064119	A1	20020822	WO 2002-JP1140	20020212
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2437754	AA	20020822	CA 2002-2437754	20020212
	JP 2002316923	A2	20021031	JP 2002-33547	20020212
	EP 1366760	A1	20031203	EP 2002-711460	20020212
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	CN 1527701	A	20040908	CN 2002-805070	20020212
	NZ 527585	A	20050429	NZ 2002-527585	20020212
	US 2004109890	A1	20040610	US 2003-468208	20030818
PRAI	JP 2001-38343	A	20010215		
	WO 2002-JP1140	W	20020212		

OS MARPAT 137:159373

AB Disclosed are tablets which are quickly disintegrated in the oral cavity without giving any unpleasant taste in taking and quickly absorbed in the digestive tract thereby achieving the drug effect. These tablets contain a drug which is hardly soluble in water under neutral or alkaline conditions

but

highly soluble in water under acidic conditions with giving an unpleasant taste. These tablets can be produced by blending the drug with a water-soluble acidic substance, coating one or both of them with a water-soluble

coating which is insol. in alc. solvents, further adding a water-soluble binder which is soluble in alc. solvents and water-soluble saccharide(s), molding the mixture under low pressure and then treating with an alc. solvent. Fast disintegrating tablets containing a cGMP-specific phosphodiesterase 5 (PDE-5) inhibitor 2-(5,6,7,8-tetrahydroimidazo[1,2-a]pyrazine-7-yl)-4-(3-chloro-4-methoxybenzylamino)-5-[N-(2-pyrimidinylmethyl)carbamoyl]pyrimidine were prepared

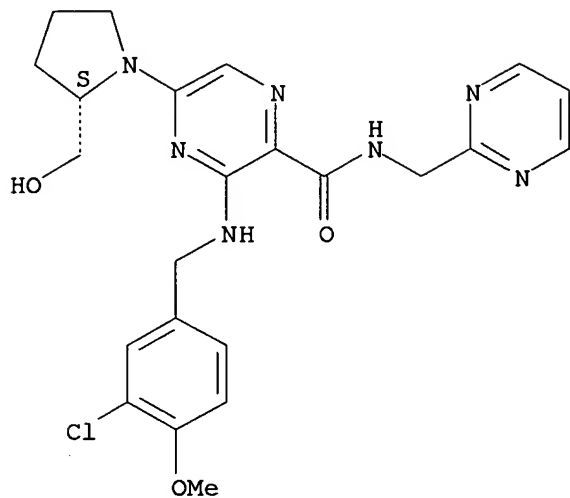
IT 330784-43-5 330784-45-7

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (fast-disintegrating tablet compns. having taste masking characteristics)

RN 330784-43-5 CAPLUS

CN Pyrazinecarboxamide, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

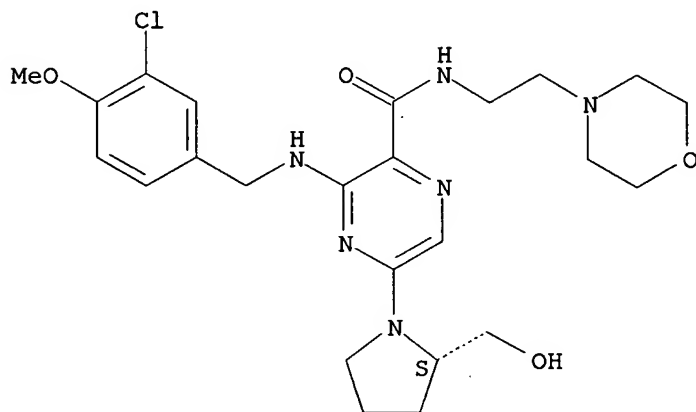
Absolute stereochemistry.



RN 330784-45-7 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2001:816647 CAPLUS
 DN 135:357948
 TI Preparation of heterocyclic compounds as phosphodiesterase V (PDE V) inhibitors
 IN Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji; Kikkawa, Kohei
 PA Tanabe Seiyaku Co., Ltd., Japan
 SO PCT Int. Appl., 207 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 3

Appl PCT

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2001083460	A1	20011108	WO 2001-JP2034	20010315	
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW		
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
	AU 2001041142	A5	20011112	AU 2001-41142	20010315	
	CA 2407231	AA	20021023	CA 2001-2407231	20010315	
	EP 1277741	A1	20030122	EP 2001-912373	20010315	
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
	NZ 522217	A	20040430	NZ 2001-522217	20010315	
	CN 1657523	A	20050824	CN 2004-10098098	20010315	
	US 2003229089	A1	20031211	US 2002-258545	20021025	
	US 2004142930	A1	20040722	US 2003-699804	20031104	
PRAI	JP 2000-130371	A	20000428			
	JP 2000-277652	A	20000913			
	WO 2001-JP2034	W	20010315			
	US 2002-258545	A2	20021025			

OS MARPAT 135:357948

AB Compds. of the general formula (I) or pharmacol. acceptable salts thereof [wherein X is :CH or N; Y is NH, NR₄, S, O, CH:N, N:CH, N:N, CH:CH, or the like; R₁ is lower alkoxy, amino, a nitrogenous heterocyclic group, or a hydroxyl group substituted with a heterocyclic group (wherein each group may be substituted); R₂ is either a lower alkylamino or lower alkoxy group which may be substituted with aryl, or a lower alkoxy group substituted with a nitrogenous aromatic heterocyclic group; and R₃ is aryl, a nitrogenous heterocyclic group, lower alkyl, lower alkoxy, lower cycloalkoxy, a hydroxyl group substituted with a nitrogenous heterocyclic group, or amino (wherein each group may be substituted), or alternatively, R₃ and the substituent of Y may be united to form a lactone ring] or pharmacol. acceptable salts thereof are prepared These compds. exhibit excellent PDE V inhibitory activity and are useful as preventive or therapeutic agents for various diseases due to dysfunction of the signal transduction through cGMP, in particular impotence, pulmonary hypertension, and diabetic renal failure paralysis (no data). Thus, 2-(hydroxymethyl)pyridine was treated with NaH in THF at room temperature for 30 min and then condensed with 2-chloro-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine (preparation given) in THF at room temperature for 1 h

to give 2-(2-pyridylmethoxy)-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine.

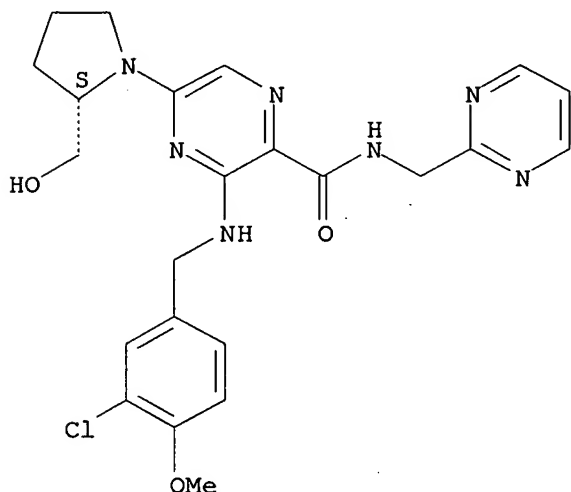
IT 330784-43-5P 330786-12-4P 372115-76-9P
372115-77-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as phosphodiesterase V inhibitors preventive or therapeutic agents for various diseases due to dysfunction of signal transduction through cGMP)

RN 330784-43-5 CAPLUS

CN Pyrazinecarboxamide, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

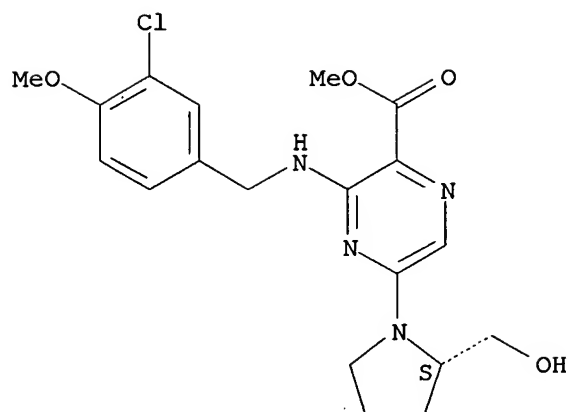
Absolute stereochemistry.



RN 330786-12-4 CAPLUS

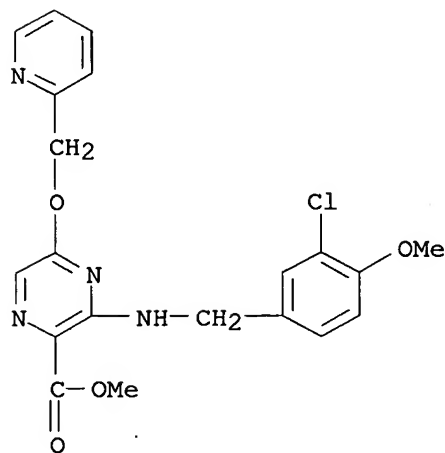
CN Pyrazinecarboxylic acid, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



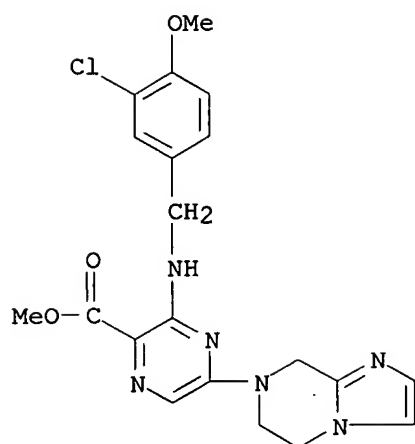
RN 372115-76-9 CAPLUS

CN Pyrazinecarboxylic acid, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(2-pyridinylmethoxy)-, methyl ester (9CI) (CA INDEX NAME)



RN 372115-77-0 CAPLUS

CN Pyrazinecarboxylic acid, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-, methyl ester (9CI) (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:208252 CAPLUS

DN 134:252363

TI Preparation and effect of nitrogen-containing-six-membered aromatic compounds as PDE V activity inhibitors

IN Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji; Kikkawa, Kohei

PA Tanabe Seiyaku Co., Ltd., Japan

SO PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN. CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001019802	A1	20010322	WO 2000-JP6258	20000913
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2383466	AA	20010322	CA 2000-2383466	20000913
	AU 2000073118	A5	20010417	AU 2000-73118	20000913
	AU 767558	B2	20031113		
	BR 2000014526	A	20020618	BR 2000-14526	20000913
	TR 200200701	T2	20020621	TR 2002-200200701	20000913
	EP 1219609	A1	20020703	EP 2000-960979	20000913
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	RU 2233273	C2	20040727	RU 2002-109792	20000913
	US 2003032647	A1	20030213	US 2001-925892	20010810
	US 6656935	B2	20031202		
	ZA 2002001499	A	20020902	ZA 2002-1499	20020222
	NO 2002001308	A	20020424	NO 2002-1308	20020315
	BG 106566	A	20030228	BG 2002-106566	20020402
	US 2003229095	A1	20031211	US 2003-426884	20030501
	US 6797709	B2	20040928		
PRAI	JP 1999-261852	A	19990916		
	JP 2000-130371	A	20000428		
	WO 2000-JP6258	W	20000913		
	US 2001-925892	A3	20010810		

OS MARPAT 134:252363

AB Title compds. [I; A is an optionally substituted nitrogenous heterocyclic group; R1 is optionally substituted lower alkyl, NHQR3 (wherein R3 is an optionally substituted nitrogenous heterocyclic group; and Q is lower alkylene or a single bond), or NHR4 (wherein R4 is optionally substituted cycloalkyl); R2 is optionally substituted aryl; and either of Y and Z is CH and the other is N], pharmacol. acceptable salts are prepared and are exhibiting an excellent selective inhibitory activity against PDE V and being useful as preventive or therapeutic drugs for erectile dysfunction (no data). Thus, the title compound II was prepared

IT 330786-12-4P

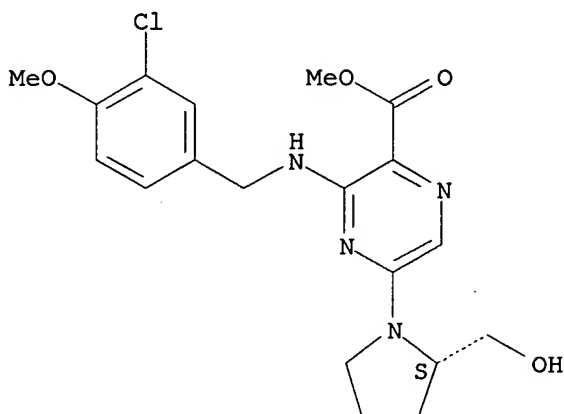
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and effect of heteroarom. compds. as PDE V activity inhibitors)

RN 330786-12-4 CAPLUS

CN Pyrazinecarboxylic acid, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 330784-43-5P 330784-44-6P 330784-45-7P
330785-08-5P 330785-09-6P 330785-10-9P
330785-11-0P 330785-12-1P

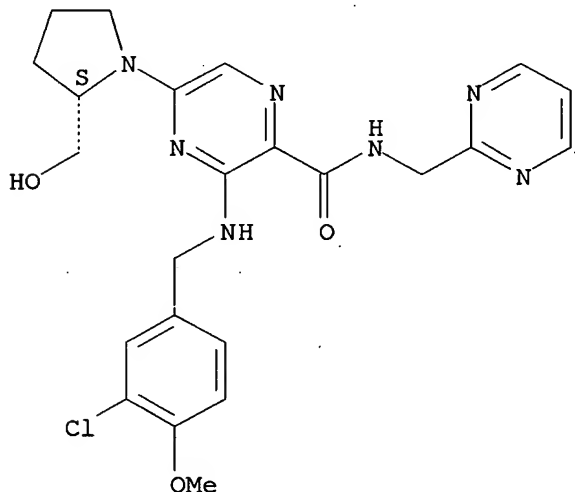
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and effect of heteroarom. compds. as PDE V activity inhibitors)

RN 330784-43-5 CAPLUS

CN Pyrazinecarboxamide, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-(2-pyrimidinylmethyl)- (9CI) (CA INDEX NAME)

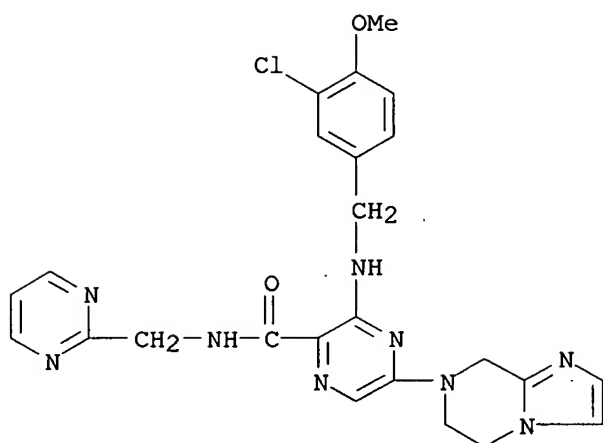
Absolute stereochemistry.



RN 330784-44-6 CAPLUS

CN Pyrazinecarboxamide, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-(2-pyrimidinylmethyl)- (9CI) (CA

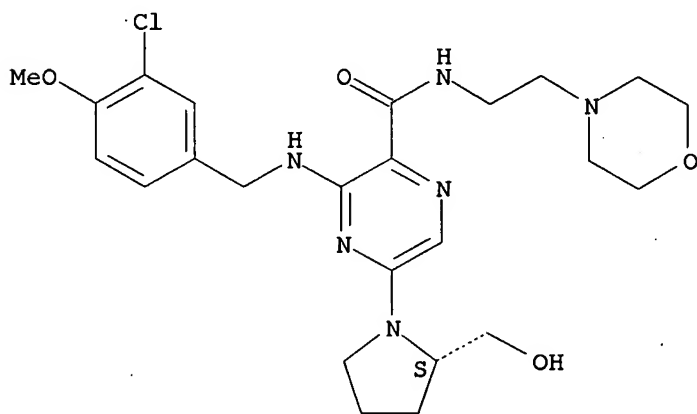
INDEX NAME)



RN 330784-45-7 CAPLUS

CN Pyrazinecarboxamide, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

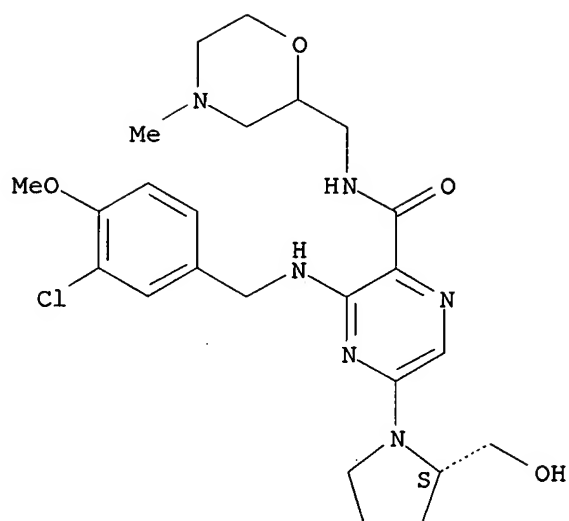
Absolute stereochemistry.



RN 330785-08-5 CAPLUS

CN Pyrazinecarboxamide, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-N-[(4-methyl-2-morpholinyl)methyl]- (9CI) (CA INDEX NAME)

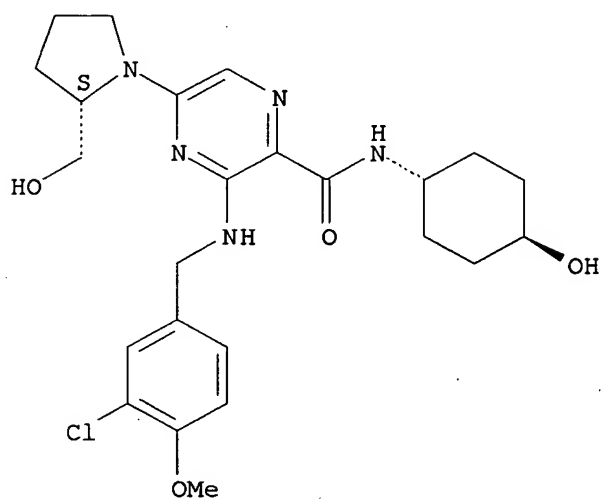
Absolute stereochemistry.



RN 330785-09-6 CAPLUS

CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-N-(trans-4-hydroxycyclohexyl)-5-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]- (9CI) (CA INDEX NAME)

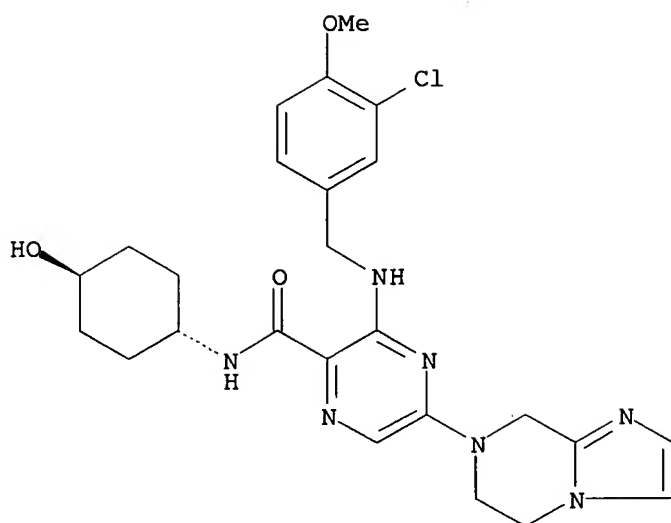
Absolute stereochemistry.



RN 330785-10-9 CAPLUS

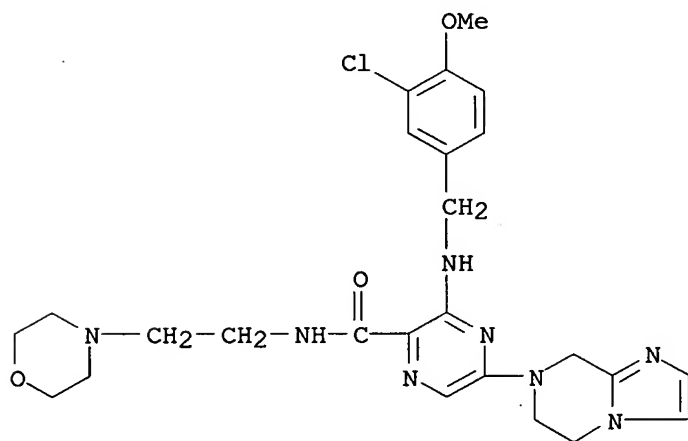
CN Pyrazinecarboxamide, 3-[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-(trans-4-hydroxycyclohexyl)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



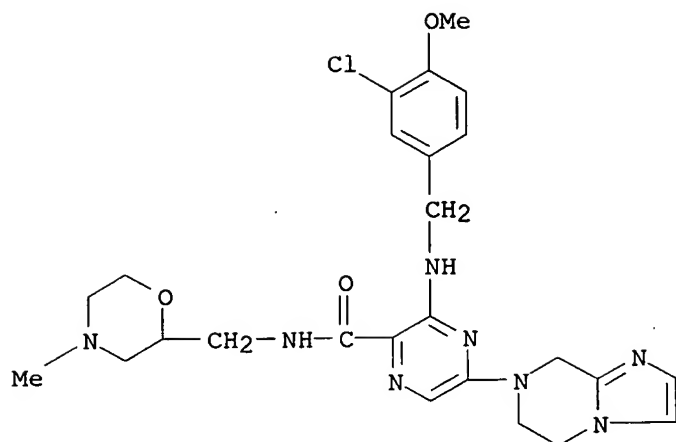
RN 330785-11-0 CAPLUS

CN Pyrazinecarboxamide, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-[2-(4-morpholinyl)ethyl]- (9CI)
(CA INDEX NAME)



RN 330785-12-1 CAPLUS

CN Pyrazinecarboxamide, 3-[[[(3-chloro-4-methoxyphenyl)methyl]amino]-5-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-N-[(4-methyl-2-morpholinyl)methyl]- (9CI) (CA INDEX NAME)



RE.CNT 7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2000:900621 CAPLUS
 DN 134:56683
 TI Preparation of nitrogen-containing heterocyclic derivatives as remedies
 for complications of diabetes based on protein kinase C inhibition
 IN Suzuki, Takayuki; Onda, Kenichi; Murakami, Takeshi; Negoro, Kenji; Yahiro,
 Kiyoshi; Maruyama, Tatsuya; Shimaya, Akiyoshi; Ohta, Mitsuaki
 PA Yamanouchi Pharmaceutical Co., Ltd., Japan
 SO PCT Int. Appl., 62 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000076980	A1	20001221	WO 2000-JP3768	20000609
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

PRAI JP 1999-163344 A 19990610
 JP 1999-165217 A 19990611

OS MARPAT 134:56683

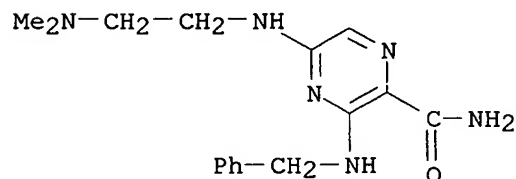
AB The title compds. I [Y and X together are N:N, C(R4):N, etc.; D =
 (un)substituted aryl, etc.; R1 = (un)substituted heteroaryl, etc.; A1, A2
 = (un)substituted alkylene, etc.; R2, R3, R4 = H, OH, etc.; or R1A2NR3 =
 (un)substituted heteroaryl] are prepared The title compound II in vitro
 showed IC50 of 0.0049 μ mol against protein kinase C.

IT 313338-72-6P 313338-93-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of nitrogen-containing heterocyclic derivs. as remedies for
 complications of diabetes)

RN 313338-72-6 CAPLUS

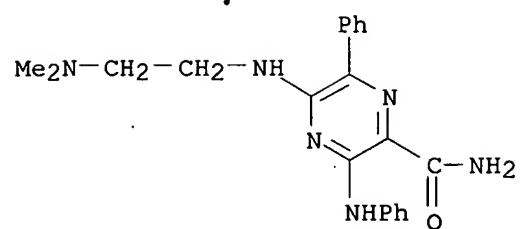
CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-3-
 [(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 313338-93-1 CAPLUS

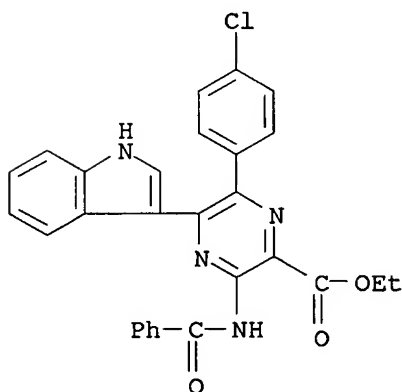
CN Pyrazinecarboxamide, 5-[[2-(dimethylamino)ethyl]amino]-6-phenyl-3-
 (phenylamino)- (9CI) (CA INDEX NAME)

10/699,804

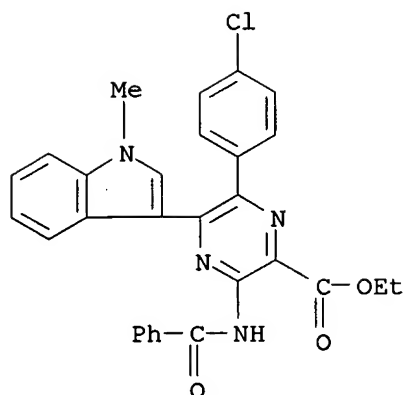


RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
 AN 2000:876627 CAPLUS
 DN 134:193276
 TI Direct introduction of indoles into 2-aminopyrazine 1-oxides
 AU Kovalev, Igor S.; Kozhevnikov, Dmitry N.; Rusinov, Vladimir L.; Chupakhin, Oleg N.; Raikov, Dmitry V.; Pustovarov, Vladimir A.; Shul'gin, Boris V.
 CS Department of Organic Chemistry, Urals State Technical University, Yekaterinburg, 620002, Russia
 SO Mendeleev Communications (2000), (6), 229-230
 CODEN: MENCEX; ISSN: 0959-9436
 PB Russian Academy of Sciences
 DT Journal
 LA English
 OS CASREACT 134:193276
 AB The synthesis of 6-indol-3-yl-2-pyrazinamines, analogs of the bioluminescent natural product Cypridina etioluciferamine, with enhanced photoluminescent properties, is reported.
 IT **327035-59-6P 327035-62-1P 327035-65-4P**
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and photoluminescence)
 RN 327035-59-6 CAPLUS
 CN Pyrazinecarboxylic acid, 3-(benzoylamino)-6-(4-chlorophenyl)-5-(1H-indol-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)

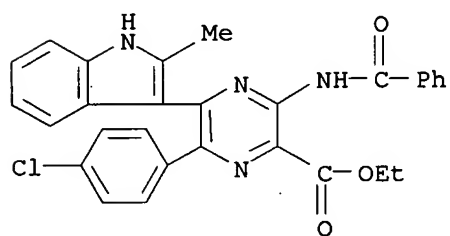


RN 327035-62-1 CAPLUS
 CN Pyrazinecarboxylic acid, 3-(benzoylamino)-6-(4-chlorophenyl)-5-(1-methyl-1H-indol-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)



RN 327035-65-4 CAPLUS

CN Pyrazinecarboxylic acid, 3-(benzoylamino)-6-(4-chlorophenyl)-5-(2-methyl-1H-indol-3-yl)-, ethyl ester (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/699,804

=> => d his

(FILE 'HOME' ENTERED AT 07:52:54 ON 03 APR 2006)

FILE 'REGISTRY' ENTERED AT 07:52:59 ON 03 APR 2006

L1 STRUCTURE UPLOADED

L2 2 S L1 SSS SAM

L3 29 S L1 SSS FUL

FILE 'CAPLUS' ENTERED AT 08:02:30 ON 03 APR 2006

L4 9 S L3

FILE 'CAOLD' ENTERED AT 08:02:58 ON 03 APR 2006

=> s l3

L5 0 L3

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.44

220.64

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

0.00

-6.75

STN INTERNATIONAL LOGOFF AT 08:03:10 ON 03 APR 2006